

What is claimed is:

1. A method of inhibiting the occurrence of advanced endometrium maturation in a human female subject undergoing fertility enhancing treatment comprising

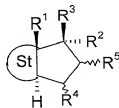
administering at least one 17α -fluoralkylated progesterone receptor antagonist to the female subject during the post-ovulatory phase of the endometrial cycle.

2. A method according to claim 1, wherein the 17α -fluoralkylated progesterone receptor antagonist is administered to the subject in a daily dosage amount of 0.1-2 mg per subject.

3. A method according to claim 2, wherein the fertility treatment comprises the administration to the subject of a follicle stimulating agent comprising follicle stimulating hormone.

4. A method according to claim 2, wherein the 17α -fluoralkylated progesterone receptor antagonist is administered in an amount of 0.1-2 mg per subject on a single day during the post-ovulatory phase of the endometrial cycle.

5. A method according to claim 2, wherein the 17α -fluoralkylated progesterone receptor antagonist is a compound of formula I:



I

wherein

R¹ is methyl or ethyl,

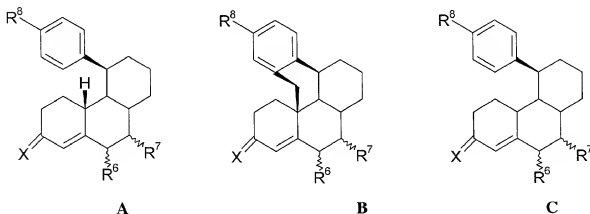
R² is C_nF_mH_o, wherein n is 1-6, preferably 2, 3, 4, 5 or 6, m > 1 and

$$m+o = 2n+1,$$

R^3 is a free, etherified or esterified hydroxy group,

R^4 and R^5 each is a hydrogen, or together form an additional bond or a methylene group,

St is a steroidal ABC-ring system of partial formula A, B or C



wherein

R^6 is hydrogen, a straight-chain C_1 - C_4 alkyl group or branched C_3 - C_4 alkyl group or halogen,

R^7 is hydrogen, a straight-chain C_1 - C_4 alkyl group or a branched C_3 - C_4 alkyl group, or

if St is a steroidal ABC-ring system A or B, in addition

R^6 and R^7 together can form an additional bond,

X is oxygen, hydroxyimino ($=N-OH$) or two hydrogen atoms,

R^8 is Y or aryl that is optionally substituted in several places with a group Y, other than H,

Y is hydrogen, halogen, $-OH$, $-NO_2$, $-N_3$, $-CN$, $-NR^{9a}R^{9b}$, $-NHSO_2R^9$, $-CO_2R^9$, C_1 - C_{10} alkyl, C_1 - C_{10} alkoxy, C_1 - C_{10} alkanoyloxy, benzoyloxy, C_1 - C_{10} alkanoyl, C_1 - C_{10} hydroxyalkyl or benzoyl,

R^{9a} and R^{9b} are the same or different and each is hydrogen or C_1 - C_{10} alkyl,

R^9 is hydrogen or C_1 - C_{10} alkyl,

and for $\text{-NR}^{\text{9a}}\text{R}^{\text{9b}}$ radicals, as well as their physiologically compatible salts with acids and for $\text{-CO}_2\text{R}^{\text{9}}$ radicals with R^{9} being hydrogen, as well as their physiologically compatible salts with bases.

6. A method according to claim 4, wherein the 17α -fluoralkylated progesterone receptor antagonist is administered orally to the subject.

7. A method of achieving pregnancy in a human female subject comprising stimulating the ovaries of the subject by administering a follicle stimulating agent to the subject, wherein the agent comprises follicle stimulating hormone; removing eggs from the ovary of the stimulated subject; administering at least one 17α -fluoralkylated progesterone receptor antagonist to the subject in the post-ovulatory phase of the endometrial cycle; fertilizing at least one egg in vitro to obtain an embryo; transferring the embryo into the uterus or fallopian tubes of the mammal.

8. A method according to claim 7, wherein the 17α -fluoralkylated progesterone receptor antagonist is administered to the subject in a daily dosage amount of 0.1-10 mg per subject

9. A method according to claim 8, wherein the 17α -fluoralkylated progesterone receptor antagonist is administered in an amount of 0.1-2 mg per subject on a single day during the post-ovulatory phase of the endometrial cycle.

10. A method according to claim 8, wherein the 17 α -fluoralkylated progesterone receptor antagonist is a compound of formula I:



wherein

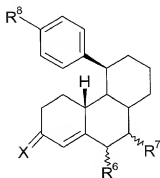
R^1 is methyl or ethyl,

R^2 is $C_nF_mH_o$, wherein n is 1-6, preferably 2, 3, 4, 5 or 6, $m > 1$ and $m+o = 2n+1$,

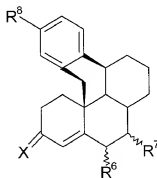
R^3 is a free, etherified or esterified hydroxy group,

R^4 and R^5 each is a hydrogen, or together form an additional bond or a methylene group,

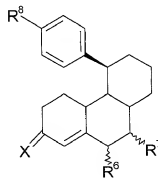
St is a steroidal ABC-ring system of partial formula A, B or C



A



B



C

wherein

R^6 is hydrogen, a straight-chain C_1 - C_4 alkyl group or branched C_3 - C_4 alkyl group or halogen,

R^7 is hydrogen, a straight-chain C_1 - C_4 alkyl group or a branched C_3 - C_4 alkyl group, or

if St is a steroidal ABC-ring system A or B, in addition

R^6 and R^7 together can form an additional bond,

X is oxygen, hydroxyimino (=N-OH) or two hydrogen atoms,

R⁸ is Y or aryl that is optionally substituted in several places with a group Y, other than H,

Y is hydrogen, halogen, -OH, -NO₂, -N₃, -CN, -NR^{9a}R^{9b}, -NHSO₂R⁹, -CO₂R⁹, C₁-C₁₀ alkyl, C₁-C₁₀ alkoxy, C₁-C₁₀ alkanoyloxy, benzoyloxy, C₁-C₁₀ alkanoyl, C₁-C₁₀ hydroxyalkyl or benzoyl,

R^{9a} and R^{9b} are the same or different and each is hydrogen or C₁-C₁₀ alkyl,

R⁹ is hydrogen or C₁-C₁₀ alkyl,

and for -NR^{9a}R^{9b} radicals, as well as their physiologically compatible salts with acids and for -CO₂R⁹ radicals with R⁹ being hydrogen, as well as their physiologically compatible salts with bases.

11. A method according to claim 9, wherein the 17 α -fluoralkylated progesterone receptor antagonist is administered orally to the subject.

12. A method of inhibiting the occurrence of advanced endometrium maturation in a non-human female mammal undergoing fertility enhancement treatment to achieve pregnancy comprising

administering at least one 17 α -fluoralkylated progesterone receptor antagonist to the mammal during the post-ovulatory phase of the endometrial cycle.

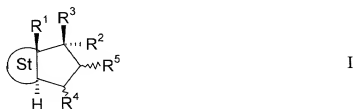
13. A method according to claim 12, wherein the 17 α -fluoralkylated progesterone receptor antagonist is administered to the mammal in a daily dosage amount of 0.01-1 mg/kg.

14. A method according to claim 13, wherein the fertility treatment comprises the administration to the mammal of a follicle stimulating agent comprising follicle stimulating hormone.

15. A method according to claim 13, wherein the 17 α -fluoralkylated progesterone receptor antagonist is administered to the mammal in an amount of

0.1-1 mg/kg on a single day during the post-ovulatory phase of the endometrial cycle.

16. A method according to claim 13, wherein the 17α -fluoralkylated progesterone receptor antagonist is a compound of formula I:



wherein

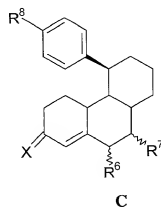
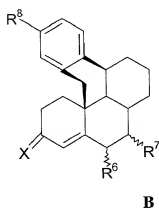
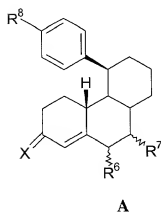
R^1 is methyl or ethyl,

R^2 is $C_nF_mH_o$, wherein n is 1-6, preferably 2, 3, 4, 5 or 6, $m > 1$ and $m+o = 2n+1$,

R^3 is a free, etherified or esterified hydroxy group,

R^4 and R^5 each is a hydrogen, or together form an additional bond or a methylene group,

St is a steroidal ABC-ring system of partial formula A, B or C



wherein

R^6 is hydrogen, a straight-chain C_1 - C_4 alkyl group or branched C_3 - C_4 alkyl group or halogen,

R^7 is hydrogen, a straight-chain C_1 - C_4 alkyl group or a branched C_3 - C_4 alkyl group, or
if St is a steroidal ABC-ring system A or B, in addition
 R^6 and R^7 together can form an additional bond,
 X is oxygen, hydroxyimino ($=N-OH$) or two hydrogen atoms,
 R^8 is Y or aryl that is optionally substituted in several places with a group Y, other than H,
Y is hydrogen, halogen, $-OH$, $-NO_2$, $-N_3$, $-CN$, $-NR^{9a}R^{9b}$, $-NHSO_2R^9$, $-CO_2R^9$, C_1 - C_{10} alkyl, C_1 - C_{10} alkoxy, C_1 - C_{10} alkanoyloxy, benzoyloxy, C_1 - C_{10} alkanoyl, C_1 - C_{10} hydroxyalkyl or benzoyl,
 R^{9a} and R^{9b} are the same or different and each is hydrogen or C_1 - C_{10} alkyl,
 R^9 is hydrogen or C_1 - C_{10} alkyl,
and for $-NR^{9a}R^{9b}$ radicals, as well as their physiologically compatible salts with acids and for $-CO_2R^9$ radicals with R^9 being hydrogen, as well as their physiologically compatible salts with bases.

17. A method of achieving pregnancy in a non-human mammal comprising stimulating the ovaries of the mammal by administering a follicle stimulating agent to the mammal, wherein the agent comprises follicle stimulating hormone; removing eggs from the ovary of the stimulated mammal; administering at least one 17α -fluoralkylated progesterone receptor antagonist to the mammal in the post-ovulatory phase of the endometrial cycle; fertilizing at least one egg in vitro to obtain an embryo; transferring the embryo into the uterus or fallopian tubes of the mammal.

18. A method according to claim 17, wherein the 17α -fluoralkylated progesterone receptor antagonist is administered to the mammal in a daily dosage amount of 0.01-1 mg/kg.

19. A method according to claim 18, wherein the 17 α -fluoralkylated progesterone receptor antagonist is administered to the mammal in an amount 0.1-1 mg/kg on a single day during the post-ovulatory phase of the endometrial cycle.

20. A method according to claim 18, wherein the 17 α -fluoralkylated progesterone receptor antagonist is a compound of formula I:



wherein

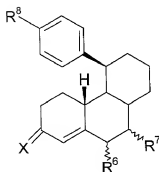
R¹ is methyl or ethyl,

R² is C_nF_mH_o, wherein n is 1-6, preferably 2, 3, 4, 5 or 6, m > 1 and m+o = 2n+1,

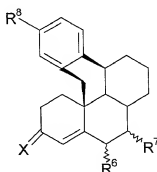
R³ is a free, etherified or esterified hydroxy group,

R⁴ and R⁵ each is a hydrogen, or together form an additional bond or a methylene group,

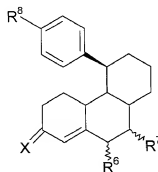
St is a steroidal ABC-ring system of partial formula A, B or C



A



B



C

wherein

R⁶ is hydrogen, a straight-chain C₁-C₄ alkyl group or branched C₃-C₄ alkyl group or halogen,

R^7 is hydrogen, a straight-chain C_1 - C_4 alkyl group or a branched C_3 - C_4 alkyl group, or

if St is a steroidal ABC-ring system A or B, in addition

R^6 and R^7 together can form an additional bond,

X is oxygen, hydroxyimino ($=N-OH$) or two hydrogen atoms,

R^8 is Y or aryl that is optionally substituted in several places with a group Y, other than H,

Y is hydrogen, halogen, $-OH$, $-NO_2$, $-N_3$, $-CN$, $-NR^{9a}R^{9b}$, $-NHSO_2R^9$, $-CO_2R^9$, C_1 - C_{10} alkyl, C_1 - C_{10} alkoxy, C_1 - C_{10} alkanoyloxy, benzoyloxy, C_1 - C_{10} alkanoyl, C_1 - C_{10} hydroxyalkyl or benzoyl,

R^{9a} and R^{9b} are the same or different and each is hydrogen or C_1 - C_{10} alkyl,

R^9 is hydrogen or C_1 - C_{10} alkyl,

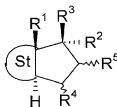
and for $-NR^{9a}R^{9b}$ radicals, as well as their physiologically compatible salts with acids and for $-CO_2R^9$ radicals with R^9 being hydrogen, as well as their physiologically compatible salts with bases.

21. A non-human mammal which results from a pregnancy achieved by a process according to claim 13.

22. A non-human mammal which results from a pregnancy achieved by a process according to claim 18.

23. A method of inhibiting the occurrence of advanced endometrium maturation in a human female subject undergoing fertility enhancing treatment comprising

administering at least one compound of formula I to the subject, wherein formula I is



I

wherein

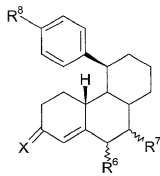
R^1 is methyl or ethyl,

R^2 is $C_nF_mH_o$, wherein n is 1-6, preferably 2, 3, 4, 5 or 6, $m > 1$ and $m+o = 2n+1$,

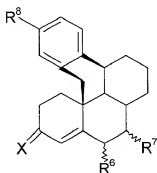
R^3 is a free, etherified or esterified hydroxy group,

R^4 and R^5 each is a hydrogen, or together form an additional bond or a methylene group,

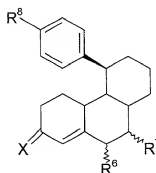
St is a steroidal ABC-ring system of partial formula A, B or C



A



B



C

wherein

R^6 is hydrogen, a straight-chain C_1 - C_4 alkyl group or branched C_3 - C_4 alkyl group or halogen,

R^7 is hydrogen, a straight-chain C_1 - C_4 alkyl group or a branched C_3 - C_4 alkyl group, or

if St is a steroidal ABC-ring system A or B, in addition

R^6 and R^7 together can form an additional bond,

X is oxygen, hydroxyimino ($=N-OH$) or two hydrogen atoms,

R^8 is Y or aryl that is optionally substituted in several places with a group Y, other than H,

Y is hydrogen, halogen, $-OH$, $-NO_2$, $-N_3$, $-CN$, $-NR^{9a}R^{9b}$, $-NHSO_2R^9$, $-CO_2R^9$, C_1 - C_{10} alkyl, C_1 - C_{10} alkoxy, C_1 - C_{10} alkanoyloxy, benzoyloxy, C_1 - C_{10} alkanoyl, C_1 - C_{10} hydroxyalkyl or benzoyl,

R^{9a} and R^{9b} are the same or different and each is hydrogen or C_1 - C_{10} alkyl,

R^9 is hydrogen or C_1-C_{10} alkyl,

and for $-NR^{9a}R^{9b}$ radicals, as well as their physiologically compatible salts with acids and for $-CO_2R^9$ radicals with R^9 being hydrogen, as well as their physiologically compatible salts with bases.

24. A method of achieving pregnancy in a human female subject comprising

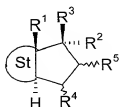
stimulating the ovaries of the subject by administering a follicle stimulating agent to the subject, wherein the agent comprises follicle stimulating hormone;

removing eggs from the ovary of the stimulated subject;

administering at least one compound of formula I to the subject in the post-ovulatory phase of the endometrial cycle;

fertilizing at least one egg in vitro to obtain an embryo;

transferring the embryo into the uterus or fallopian tubes of the mammal, wherein formula I is



I

wherein

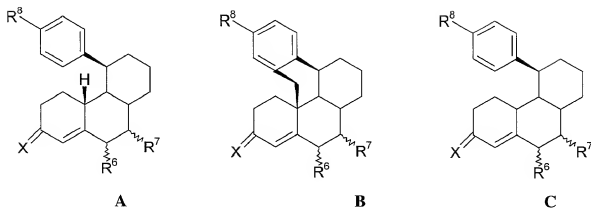
R^1 is methyl or ethyl,

R^2 is $C_nF_mH_o$, wherein n is 1-6, preferably 2, 3, 4, 5 or 6, $m > 1$ and $m+o = 2n+1$,

R^3 is a free, etherified or esterified hydroxy group,

R^4 and R^5 each is a hydrogen, or together form an additional bond or a methylene group,

St is a steroidal ABC-ring system of partial formula A, B or C



wherein

R^6 is hydrogen, a straight-chain C_1 - C_4 alkyl group or branched C_3 - C_4 alkyl group or halogen,

R^7 is hydrogen, a straight-chain C_1 - C_4 alkyl group or a branched C_3 - C_4 alkyl group, or

if St is a steroidal ABC-ring system A or B, in addition

R^6 and R^7 together can form an additional bond,

X is oxygen, hydroxyimino ($=N-OH$) or two hydrogen atoms,

R^8 is Y or aryl that is optionally substituted in several places with a group Y, other than H,

Y is hydrogen, halogen, $-OH$, $-NO_2$, $-N_3$, $-CN$, $-NR^{9a}R^{9b}$, $-NHSO_2R^9$, $-CO_2R^9$, C_1 - C_{10} alkyl, C_1 - C_{10} alkoxy, C_1 - C_{10} alkanoyloxy, benzoyloxy, C_1 - C_{10} alkanoyl, C_1 - C_{10} hydroxyalkyl or benzoyl,

R^{9a} and R^{9b} are the same or different and each is hydrogen or C_1 - C_{10} alkyl,

R^9 is hydrogen or C_1 - C_{10} alkyl,

and for $-NR^{9a}R^{9b}$ radicals, as well as their physiologically compatible salts with acids and for $-CO_2R^9$ radicals with R^9 being hydrogen, as well as their physiologically compatible salts with bases.

25. A method of inhibiting the occurrence of advanced endometrium maturation in a non-human female mammal undergoing fertility enhancement treatment to achieve pregnancy comprising

administering at least one compound according to formula I to the mammal, wherein formula I is



wherein

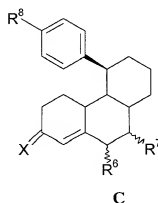
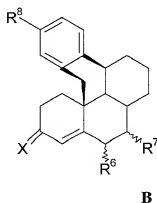
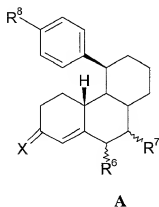
R^1 is methyl or ethyl,

R^2 is $C_nF_mH_o$, wherein n is 1-6, preferably 2, 3, 4, 5 or 6, $m > 1$ and $m+o = 2n+1$,

R^3 is a free, etherified or esterified hydroxy group,

R^4 and R^5 each is a hydrogen, or together form an additional bond or a methylene group,

St is a steroidal ABC-ring system of partial formula A, B or C



wherein

R^6 is hydrogen, a straight-chain C_1-C_4 alkyl group or branched C_3-C_4 alkyl group or halogen,

R^7 is hydrogen, a straight-chain C_1-C_4 alkyl group or a branched C_3-C_4 alkyl group, or

if St is a steroidal ABC-ring system A or B, in addition

R^6 and R^7 together can form an additional bond,

X is oxygen, hydroxyimino ($=N-OH$) or two hydrogen atoms,

R^8 is Y or aryl that is optionally substituted in several places with a group Y, other than H,

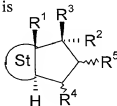
Y is hydrogen, halogen, $-OH$, $-NO_2$, $-N_3$, $-CN$, $-NR^{9a}R^{9b}$, $-NHSO_2R^9$, $-CO_2R^9$, C_1-C_{10} alkyl, C_1-C_{10} alkoxy, C_1-C_{10} alkanoyloxy, benzoyloxy, C_1-C_{10} alkanoyl, C_1-C_{10} hydroxyalkyl or benzoyl,

R^{9a} and R^{9b} are the same or different and each is hydrogen or C_1-C_{10} alkyl,

R^9 is hydrogen or C_1-C_{10} alkyl,

and for $-NR^{9a}R^{9b}$ radicals, as well as their physiologically compatible salts with acids and for $-CO_2R^9$ radicals with R^9 being hydrogen, as well as their physiologically compatible salts with bases.

26. A method of achieving pregnancy in a non-human mammal comprising stimulating the ovaries of the mammal by administering a follicle stimulating agent to the mammal, wherein the agent comprises follicle stimulating hormone; removing eggs from the ovary of the stimulated mammal; administering at least one compound of formula I to the mammal in the post-ovulatory phase of the endometrial cycle; fertilizing at least one egg in vitro to obtain an embryo; transferring the embryo into the uterus or fallopian tubes of the mammal, wherein formula I is



I

wherein

R^1 is methyl or ethyl,

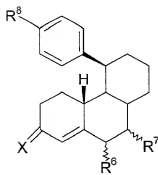
R^2 is $C_nF_mH_{o+}$, wherein n is 1-6, preferably 2, 3, 4, 5 or 6, $m > 1$ and $m+o = 2n+1$,

R^3 is a free, etherified or esterified hydroxy group,

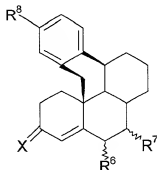
R^4 and R^5 each is a hydrogen, or together form an additional bond or a methylene group,

St is a steroidal ABC-ring system of partial

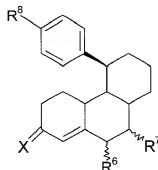
formula A, B or C



A



B



C

wherein

R^6 is hydrogen, a straight-chain C_1 - C_4 alkyl group or branched C_3 - C_4 alkyl group or halogen,

R^7 is hydrogen, a straight-chain C_1 - C_4 alkyl group or a branched C_3 - C_4 alkyl group, or

if St is a steroidal ABC-ring system A or B, in addition

R^6 and R^7 together can form an additional bond,

X is oxygen, hydroxyimino ($=N-OH$) or two hydrogen atoms,

R^8 is Y or aryl that is optionally substituted in several places with a group Y, other than H,

Y is hydrogen, halogen, $-OH$, $-NO_2$, $-N_3$, $-CN$, $-NR^{9a}R^{9b}$, $-NHSO_2R^9$, $-CO_2R^9$, C_1 - C_{10} alkyl, C_1 - C_{10} alkoxy, C_1 - C_{10} alkanoyloxy, benzoyloxy, C_1 - C_{10} alkanoyl, C_1 - C_{10} hydroxyalkyl or benzoyl,

R^{9a} and R^{9b} are the same or different and each is hydrogen or C_1 - C_{10} alkyl,

R^9 is hydrogen or C_1 - C_{10} alkyl,

and for $-NR^{9a}R^{9b}$ radicals, as well as their physiologically compatible salts with acids and for $-CO_2R^9$ radicals with R^9 being hydrogen, as well as their physiologically compatible salts with bases.

27. A method of inhibiting the occurrence of advanced endometrium maturation in a human female subject undergoing fertility enhancing treatment comprising

administering at least one 17α -fluoralkylated progesterone receptor antagonist to the female subject during the post-ovulatory phase of the endometrial cycle.

28. A method of inhibiting the occurrence of advanced endometrium maturation in a human female subject undergoing fertility enhancing treatment comprising

administering at least one 17α -fluoralkylated progesterone receptor antagonist to the female subject during the post-ovulatory phase of the endometrial cycle after said fertility enhancing treatment.

29. A method of inhibiting the occurrence of advanced endometrium maturation in a non-human female mammal undergoing fertility enhancement treatment to achieve pregnancy comprising

administering at least one 17α -fluoralkylated progesterone receptor antagonist to the mammal during the post-ovulatory phase of the endometrial cycle after said fertility enhancing treatment.